PTO-1542
(4-85)

U.S. DEPARTMENT OF COMMERCE
PATENT AND TRADEMARK OFFICE

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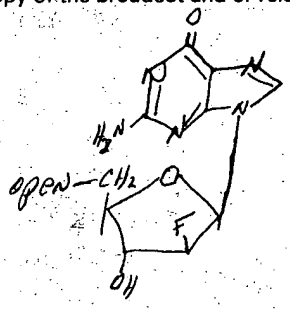
USER Gary L. Kunz SERIAL NUMBER 07/652,978

ART UNIT 1803 PHONE X. 4623 DATE 2-1-95

Please give a detailed statement of requirements. Describe as specifically as possible the subject matter to be searched. Define any terms that may have special meaning. Give examples or relevant citations, authors, or keywords, if known.

You may include a copy of the broadest and or relevant claim(s).



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2-14

COMPLETED 2/3/95
SEARCHER Kathleen Fuller
ONLINE TIME 10 (in minutes) TOTAL TIME 15
NO. OF DATABASES 4

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- ___ DIALOG
- ___ SDC
- ___ OTHER

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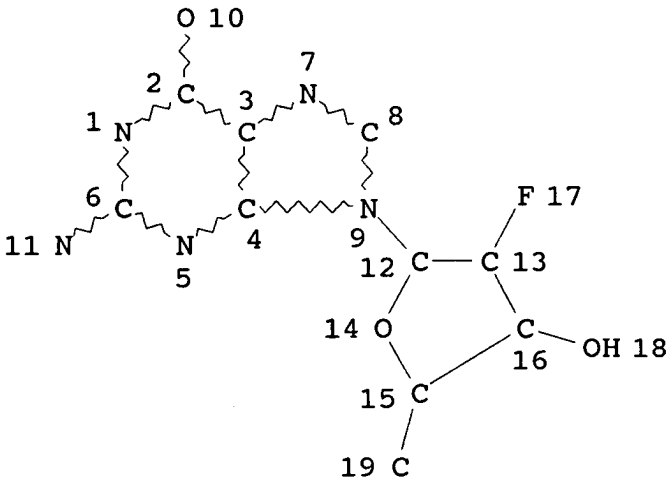


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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS  19

STEREO ATTRIBUTES: NONE
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L30  ANSWER 1 OF 8  REGISTRY  COPYRIGHT 1995 ACS
RN   134444-64-7  REGISTRY
CN   Guanosine, 2'-deoxy-2'-fluoro-, monosodium salt (9CI)  (CA INDEX
     NAME)
MF   C10 H12 F N5 O4 . Na
SR   CA
LC   STN Files:   CA
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8 structures from the query

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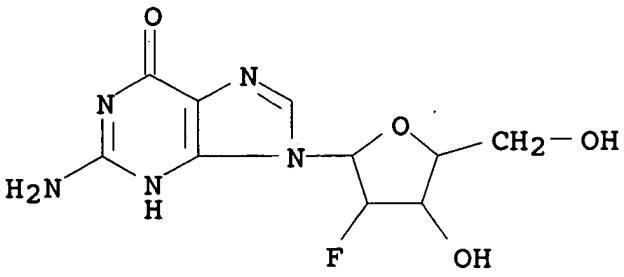
DES 5:B-D-RIBO
CRN (78842-13-4)



● Na

1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 115:230514

L30 ANSWER 2 OF 8 REGISTRY COPYRIGHT 1995 ACS
RN 131331-00-5 REGISTRY
CN Guanosine 5'-(trihydrogen diphosphate), 2'-deoxy-2',2'-difluoro-, P'-[2,3-bis[(1-oxoheptadecyl)oxy]propyl] ester (9CI) (CA INDEX NAME)
MF C47 H83 F2 N5 O14 P2
SR CA
LC STN Files: CA
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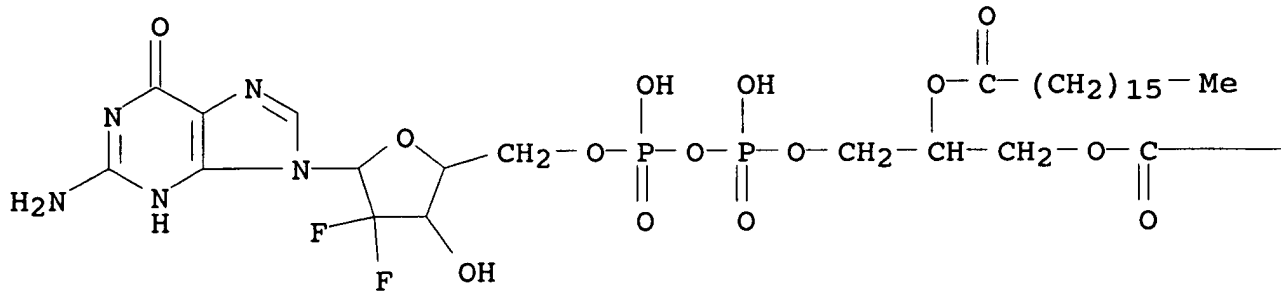
PAGE 1-A



PAGE 1-B

— $(CH_2)_{15}$ — Me

1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 114:43489

L30 ANSWER 3 OF 8 REGISTRY COPYRIGHT 1995 ACS
RN 103884-98-6 REGISTRY
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)
MF C10 H12 F N5 O4
SR CA
LC STN Files: BEILSTEIN*, CA, CANCERLIT, CASREACT, CJACS, MEDLINE, RTECS*, USPATFULL
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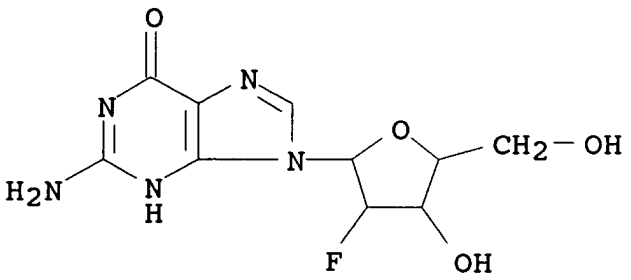

8 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 118:7325

REFERENCE 2: 118:7311

REFERENCE 3: 114:122928

REFERENCE 4: 111:78536

REFERENCE 5: P 111:55835

REFERENCE 6: 110:57982

REFERENCE 7: P 107:59409

REFERENCE 8: 105:172962

L30 ANSWER 4 OF 8 REGISTRY COPYRIGHT 1995 ACS
RN 103882-88-8 REGISTRY
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-2,2-difluoro-.alpha.-D-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)
MF C10 H11 F2 N5 O4
SR CA
LC STN Files: CA, TOXLIT, USPATFULL
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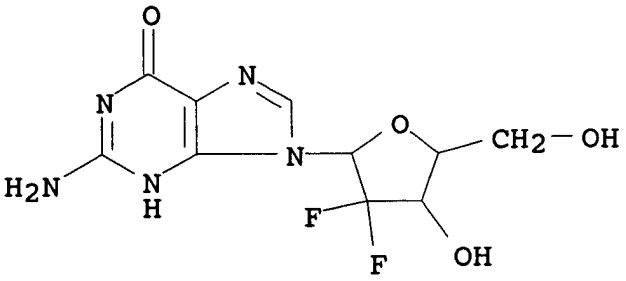

1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 105:91327

L30 ANSWER 5 OF 8 REGISTRY COPYRIGHT 1995 ACS
RN 103882-87-7 REGISTRY
CN Guanosine, 2'-deoxy-2',2'-difluoro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2'-Deoxy-2',2'-difluoroguanosine
MF C10 H11 F2 N5 O4
SR CA
LC STN Files: CA, TOXLIT, USPATFULL
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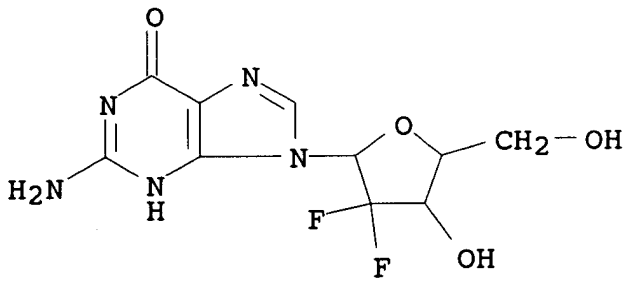

3 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 113:4620

REFERENCE 2: 112:99109

REFERENCE 3: P 105:91327

L30 ANSWER 6 OF 8 REGISTRY COPYRIGHT 1995 ACS
RN 103828-82-6 REGISTRY
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-2,2-difluoro-D-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)
MF C10 H11 F2 N5 O4
SR CA
LC STN Files: CA, TOXLIT, USPATFULL
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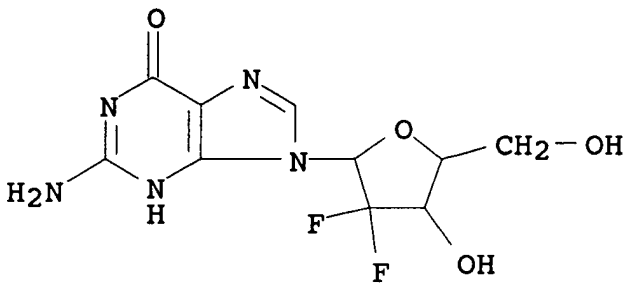

1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 105:91327

L30 ANSWER 7 OF 8 REGISTRY COPYRIGHT 1995 ACS
RN 96475-41-1 REGISTRY
CN Guanosine, 2'-deoxycytidylyl-(3'.fwdarw.5')-2'-deoxy-2'-fluoroguanylyl-(3'.fwdarw.5')-2'-deoxycytidylyl-(3'.fwdarw.5')-2'-deoxy-2'-fluoroguanylyl-(3'.fwdarw.5')-2'-deoxycytidylyl-(3'.fwdarw.5')-2'-deoxy-2'-fluoro- (9CI) (CA INDEX NAME)
MF C57 H70 F3 N24 O34 P5
LC STN Files: CA
DES *

PAGE 1-A

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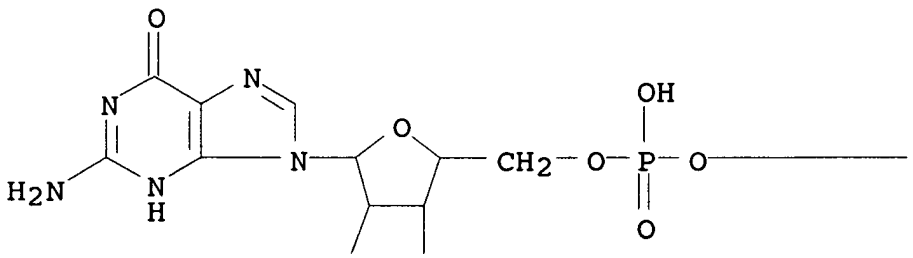

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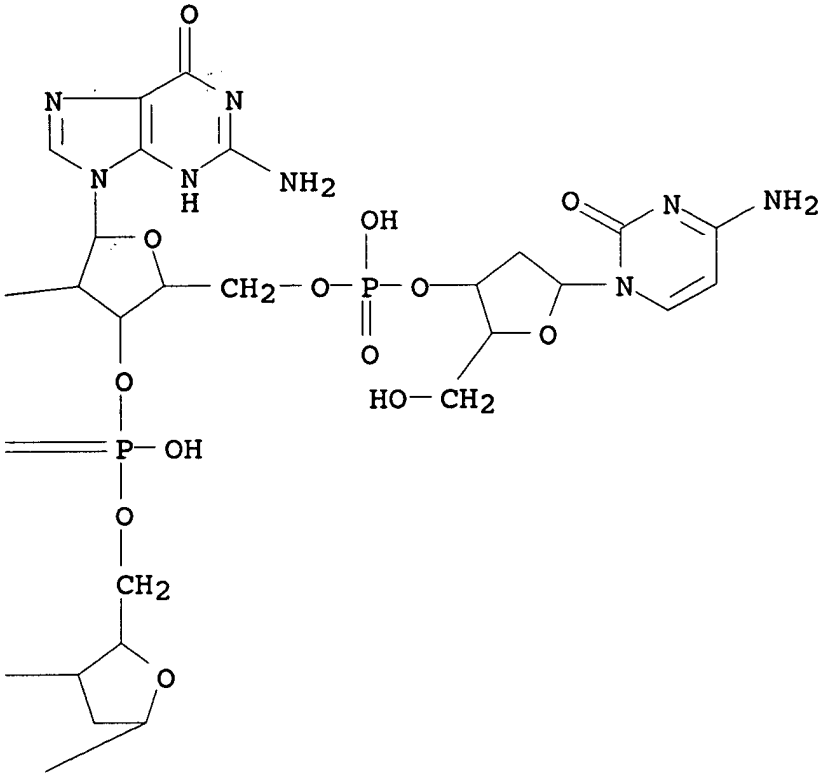

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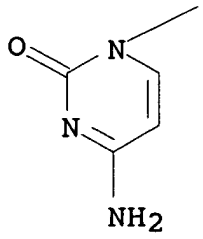

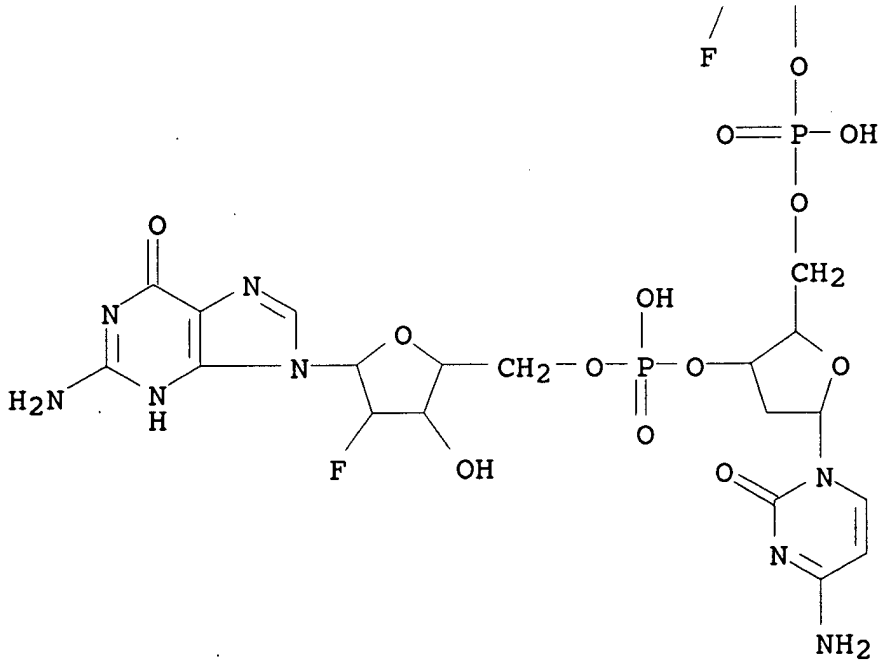

PAGE 2-B

1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: 102:199767

L30 ANSWER 8 OF 8 REGISTRY COPYRIGHT 1995 ACS
RN 78842-13-4 REGISTRY
CN Guanosine, 2'-deoxy-2'-fluoro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2'-Deoxy-2'-fluoroguanosine
MF C10 H12 F N5 O4
CI COM
LC STN Files: BEILSTEIN*, BIOBUSINESS, CA, MEDLINE, TOXLIT
(*File contains numerically searchable property data)
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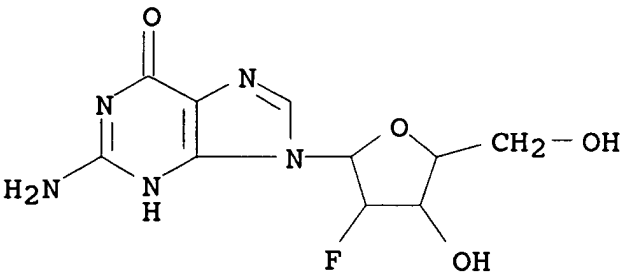

10 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: 121:124668

REFERENCE 2: 120:153053

REFERENCE 3: 120:124224

REFERENCE 4: 119:117734

REFERENCE 5: 118:213400

REFERENCE 6: 117:192234

REFERENCE 7: P 115:230514

REFERENCE 8: 101:73046

REFERENCE 9: 96:104673

REFERENCE 10: 95:115911

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=> S L30
L31 24 L30

24 CA ref's from the 8 structures

=> D L31 1-24 CBIB ABS HITIND

L31 ANSWER 1 OF 24 HCA COPYRIGHT 1995 ACS
121:124668 Efficacy of 2'-deoxy-2'-fluororibosides against influenza A and B viruses in ferrets. Jakeman, Kenneth J.; Tisdale, Margaret; Russell, Stuart; Leone, Anna; Sweet, Clive (Sch. Biol. Sci., Univ. Birmingham, Birmingham, B15 2TT, UK). Antimicrob. Agents Chemother., 38(8), 1864-7 (English) 1994. CODEN: AMACCQ. ISSN: 0066-4804.

AB Single-dose treatments (5 to 40 mg/kg of body wt. given i.p.) of ferrets with 2'-deoxy-2'-fluoroguanosine or its prodrug, 2,6-diaminopurine-2'-fluororiboside, 1 h after infection with influenza A virus significantly inhibited replication of virus in the upper respiratory tract, resulting in amelioration of fever and nasal inflammation. Replication of virus in the lower respiratory tract was also reduced >100-fold, but three doses were required to prevent replication in the lungs. In ferrets infected with influenza B virus, single-dose treatment (40 mg/kg given i.p.) produced a similar but reduced response in comparison with that in ferrets infected with influenza A virus, indicating that dosing was not optimal for this virus.

CC 1-5 (Pharmacology)
IT **78842-13-4**, 2'-Deoxy-2'-fluoroguanosine 134444-47-6
(influenza virus A and B inhibition by, in respiratory tract)

L31 ANSWER 2 OF 24 HCA COPYRIGHT 1995 ACS
121:83851 Synthesis and biologic activity of purine 2'-deoxy-2'-fluoro-ribonucleosides. Thomas, H. Jeanette; Tiwari, Kamal N.; Clayton, Sarah Jo; Secrist, John A., III; Montgomery, John A. (South. Res. Inst., Birmingham, AL, 35255-5305, USA). Nucleosides Nucleotides, 13(1-3), 309-23 (English) 1994. CODEN: NUNUD5. ISSN: 0732-8311.

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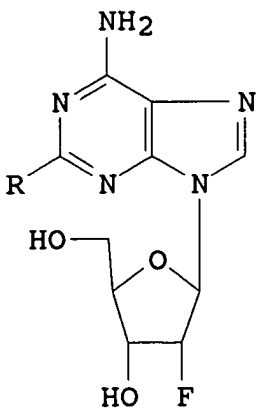

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AB The synthesis of 3,5-di-O-benzoyl-2-deoxy-2-fluoro-D-ribofuranosyl bromide and its reaction with 2,6-dichloropurine by fusion and with mercuric cyanide catalysis is described. The resulting 2,6-dichloro-9-(3,5-di-O-benzoyl-2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)purine was converted to 2'-deoxy-2'-fluoro-ribonucleosides, e.g. I (R = H, Cl, F). These nucleosides were cytotoxic to a no. of cell lines in culture. I (R = Cl, F) gave modest increases in lifespan when tested against the P388 leukemia in mice.

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1

IT **78842-13-4P** 147048-53-1P 156357-18-5P
(prepn. and antitumor activity of)

L31 ANSWER 3 OF 24 HCA COPYRIGHT 1995 ACS

120:153053 Comparative anti-influenza virus activity of 2'-deoxy-2'-fluororibosides in vitro. Rollins, Barbara S.; Hamid, Abdel; Elkhatieb, A.; Hayden, Frederick G. (Health Sci. Cen., Univ. Virginia, Charlottesville, VA, 22908, USA). Antiviral Res., 21(4), 357-68 (English) 1993. CODEN: ARSRDR. ISSN: 0166-3542.

AB The anti-influenza virus activity of 2'-deoxy-2'-fluoroguanosine was detd. in cell culture and in explants of human respiratory epithelium by yield redn. assay. The concn. causing at least 1.0 log10 redn. in influenza A (H3N2) virus yield (EC90) at 24 h was 2.5 .mu.g/mL in primary rhesus monkey kidney and 12 .mu.g/mL in Madin-Darby canine kidney (MDCK) cells, compared to 0.5 .mu.g/mL and 0.9 .mu.g/mL, resp., for ribavirin. The estd. therapeutic ratios for both compds. were low (<5 to 25) in these cell types. In contrast, the EC90 values at 48 h for influenza A and influenza B virus were .ltoreq.0.1 .mu.g/mL in human respiratory epithelial explants, and concns. up to 100 .mu.g/mL did not inhibit explant outgrowth. Ribavirin was approx. 50-fold less active in this system and inhibited outgrowth at 10 .mu.g/mL. 2'-Deoxy-2'-fluoroguanosine was also approx. 45-fold more potent than the corresponding adenosine and inosine compds. in explant cultures. Partially resistant variants, with approx. 5-fold increases in EC50 values, could be selected by serial influenza A virus passage in MDCK cells in the presence of 2'-deoxy-2'-fluoroguanosine, which indicated that its antiviral activity is at least partially virus specific. The exceptional activity of 2'-deoxy-2'-fluoroguanosine in human respiratory epithelial cells against both influenza A and B viruses makes this compd. an interesting candidate for further investigation.

CC 1-5 (Pharmacology)
Section cross-reference(s): 10

IT 64183-27-3, 2'-Deoxy-2'-fluoroadenosine **78842-13-4**, 2'-Deoxy-2'-fluoroguanosine 80049-87-2, 2'-Deoxy-2'-fluoroinosine
(anti-influenza virus activity of)

L31 ANSWER 4 OF 24 HCA COPYRIGHT 1995 ACS

120:124224 Inhibition of influenza A and B viruses by 2'-deoxy-2'-fluororibosides. Tisdale, M.; Appleyard, G.; Tuttle, J. V.; Nelson, D. J.; Nusinoff-Lehrman, S.; Al Nakib, W.; Stables, J. N.; Purifoy, D. J. M.; Powell, K. L.; Darby, G. (Wellcome Res. Lab., Kent, UK). Antiviral Chem. Chemother., 4(5), 281-7 (English) 1993.

CODEN: ACCHEH. ISSN: 0956-3202.

AB A series of 2'-deoxy-2'-fluororibosides were evaluated for anti-influenza activity in cell culture and in the mouse pneumonia model. Many were found to be potent inhibitors of Influenza A, in chick embryo fibroblast cells (IC50's 0.1-2.9.mu.M), and in reducing mouse lung virus titers (1-3 log10 units). Purine analogs proved the most effective, but their activity was an order of magnitude higher in MDCK cells. Anti-influenza activity correlated with intracellular triphosphate levels and with substrate specificity of 2'-deoxycytidine kinase. 2'-Deoxy-2'-fluoroguanosine selected for further study was active against all influenza A and B strains tested, including one clin. isolate which proved extremely sensitive when assayed in human tracheal cultures. In vivo, 2'-deoxy-2'-fluoroguanosine (2'-fluorodGuo) was significantly more effective than amantadine or ribavirin in reducing mouse lung virus titer when treatment commenced after infection.

CC 1-5 (Pharmacology)

IT 784-71-4, 2'-Deoxy-2'-fluorouridine 10212-20-1, 2'-Deoxy-2'-fluorocytosine 64183-27-3, 2'-Deoxy-2'-fluoroadenosine **78842-13-4**, 2'-Deoxy-2'-fluoroguanosine 80049-87-2, 2'-Deoxy-2'-fluorohypoxanthosine 122799-38-6, 2'-Deoxy-2'-fluorothymidine 134444-47-6 134444-48-7 134444-50-1 134444-51-2 134444-53-4 134444-54-5 134444-56-7 134444-58-9
(influenza A and B viruses inhibition by)

L31 ANSWER 5 OF 24 HCA COPYRIGHT 1995 ACS

119:117734 Uniformly modified 2'-deoxy-2'-fluoro-phosphorothioate oligonucleotides as nuclease-resistant antisense compounds with high affinity and specificity for RNA targets. Kawasaki, Andrew M.; Casper, Martin D.; Freier, Susan M.; Lesnik, Elena A.; Zounes, Maryann C.; Cummins, Lendell L.; Gonzalez, Carolyn; Cook, P. Dan (ISIS Pharm., Carlsbad, CA, 92008, USA). J. Med. Chem., 36(7), 831-41 (English) 1993. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CJACS-IMAGE; CJACS.

AB "Uniformly" modified phosphodiester or phosphorothioate oligonucleotides incorporating 2'- deoxy-2'-fluoroadenosine, -guanosine, -uridine, and -cytidine, reported herein for the first time, when hybridized with RNA afforded consistent additive enhancement of duplex stability without compromising base-pair specificity. CD spectra of the 2'-deoxy-2'-fluoro-modified oligonucleotides hybridized with RNA indicated that the duplex adopts a fully A-form conformation. The 2'-deoxy-2'-fluoro-modified oligonucleotides in phosphodiester form were not resistant to nucleases; however, the modified phosphorothioate oligonucleotides were highly nuclease resistant and retained exceptional binding affinity to the RNA targets. The stabilizing effects of the 2'-deoxy-2'-fluoro modifications on RNA-DNA duplexes were shown to be superior to those of the 2'-O-methylribo substitutions. "Uniformly" modified 2'-deoxy-2'-fluoro phosphorothioate oligonucleotides afforded antisense mols. with high binding affinity for the RNA target and stability toward nucleases.

CC 33-10 (Carbohydrates)
Section cross-reference(s): 6, 7, 9, 22

IT 64183-27-3P **78842-13-4P** 136834-22-5P 144089-96-3P 144089-97-4P 146954-66-7P 146954-74-7P 146954-75-8P 146954-76-9P 146954-77-0P
(prepn. and reaction of, in synthesis of DNA)

L31 ANSWER 6 OF 24 HCA COPYRIGHT 1995 ACS
118:213400 Purine 2'-deoxy-2'-fluororibosides as antiinfluenza virus agents. Tuttle, Joel V.; Tisdale, Margaret; Krenitsky, Thomas A. (Wellcome Res. Lab., Research Triangle Park, NC, 27709, USA). J. Med. Chem., 36(1), 119-25 (English) 1993. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CJACS-IMAGE; CJACS.
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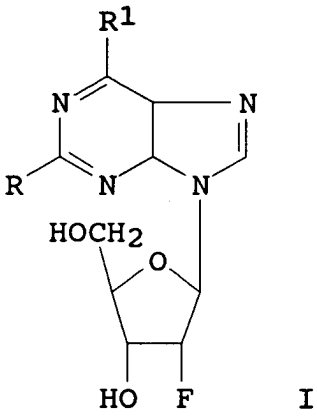


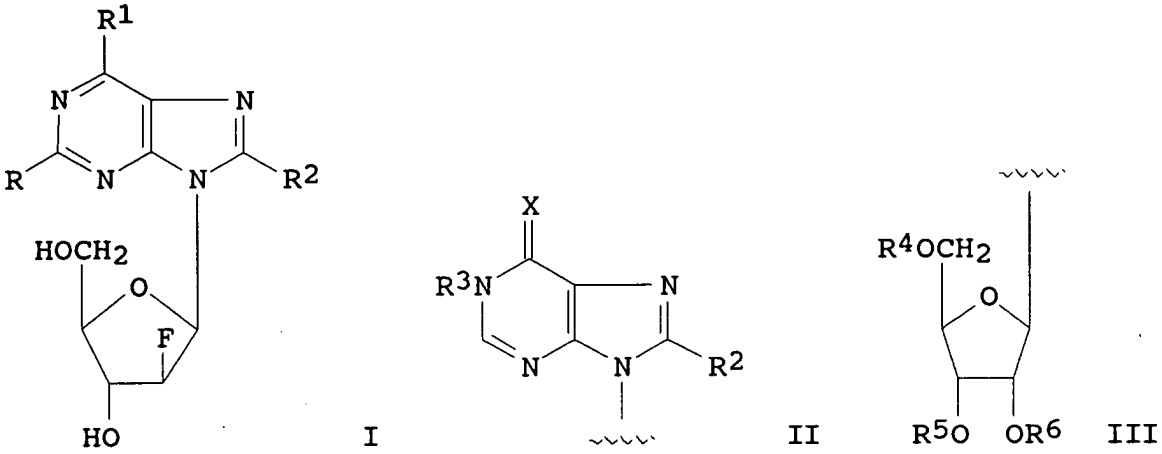
I

AB Twenty purine 2'-deoxy-2'-fluororibosides, e.g. I [R = H, NH2, R1 = OH, OMe, OEt, SMe, NH2; R = F (II), Me, OMe, R1 = NH2], were synthesized by enzymic pentosyl transfer from 2'-deoxy-2'-fluorouridine. Each nucleoside analog was assayed for cytotoxicity in uninfected Madin-Darby canine kidney cells and for their ability to suppress influenza A virus infections in these cells. The most potent antiviral activity was obsd. with analogs having an amino group in the 2-position of the purine moiety. All 2-unsubstituted analogs were less potent than their 2-amino counterparts. The most cytotoxic member of the series was II (ED50 = 120.mu.M). 2'-Deoxy-2'-fluoroguanosine and those congeners readily converted to it by adenosine deaminase showed the most potent antiviral activity (ED50 = 15-23 .mu.M). Little cytotoxicity was obsd. with this subgroup of analogs which renders them worthy of further investigation as potential antiinfluenza agents.
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 7, 9
IT 64183-27-3P **78842-13-4P** 80049-87-2P 134444-48-7P
134444-49-8P 134444-50-1P 134444-51-2P 134444-52-3P
134444-53-4P 134444-54-5P 134444-56-7P 134444-57-8P
134444-59-0P 134444-60-3P 147048-52-0P 147048-54-2P
147048-55-3P 147048-56-4P
(prepn. and antiviral activity of)

L31 ANSWER 7 OF 24 HCA COPYRIGHT 1995 ACS
118:7325 Synthesis of 2'-"up" fluorinated 2''-deoxy-arabinofuranosylpurines. Watanabe, Kyoichi A.; Pankiewicz, Krzysztof W.; Krzeminski, Jacek; Nawrot, Barbara (Sloan-Kettering Institute for Cancer Research, USA). PCT Int. Appl. WO 9211276 A1 920709, 97 pp. DESIGNATED STATES: W: AU, CA, JP, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE. (English). CODEN:

PIXXD2. APPLICATION: WO 91-US9586 911218. PRIORITY: US 90-630275 901218.

GI



I II III

AB Fluoro nucleosides I and II [R = H, F, NH2, substituted NH2; R1 = H, OMe, SMe, SCH2Ph, CHMe2, Cl, NH2, substituted NH2; R2 = H, OH, OMe, halogen, NH2, substituted NH2; R3 = H, (un)substituted CH2Ph; X = O, S] were prepd. from the nucleosides III (R4-R6 = H) via fluorination of the triflates III (R4, R5 = CPh3, R6 = O2SCF3). Thus, 1-benzylinosine was 3',5'-ditritylated and converted to the 2'-O-triflyl deriv. which was treated with (Me2N)3S(SiMe3)F2 and detritylated to give II (X = O, R2 = H, R3 = CH2Ph).

IC ICM C07H019-19
ICS C07H019-173

CC 33-9 (Carbohydrates)

IT 20227-41-2P 29886-25-7P 31085-56-0P 31085-57-1P 98983-40-5P
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144924-86-7P 144924-87-8P 144924-88-9P 144924-89-0P
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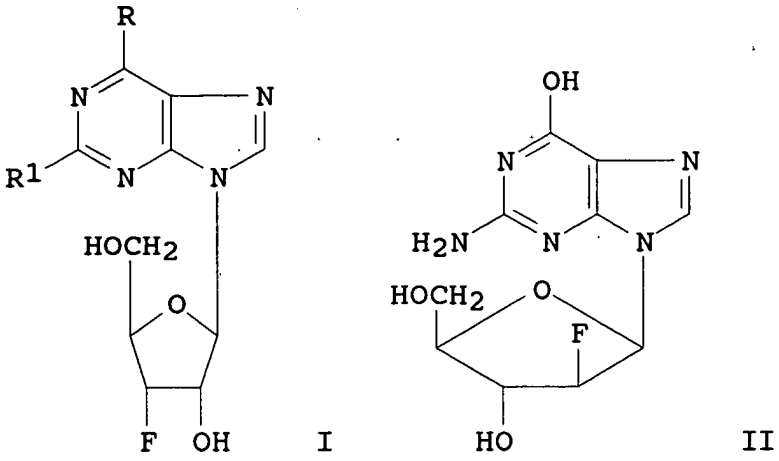
144926-90-9P	144926-91-0P	144926-92-1P	144926-93-2P

(prepn. of)

L31 ANSWER 8 OF 24 HCA COPYRIGHT 1995 ACS

118:7311 Nucleosides. 164. Studies directed toward the synthesis of 2'-deoxy-2'-substituted arabino nucleosides. 10. Synthesis of 2'-.beta.-fluoro- and 3'-.alpha.-fluoro-substituted guanine nucleosides. Effect of sugar conformational shifts on nucleophilic displacement of the 2'-hydroxy and 3'-hydroxy group with DAST. Pankiewicz, Krzysztof W.; Krzeminski, Jacek; Watanabe, Kyoichi A. (Lab. Org. Chem., Sloan-Kettering Inst. Cancer Res., New York, NY, 10021, USA). J. Org. Chem., 57(26), 7315-21 (English) 1992. CODEN: JOCEAH. ISSN: 0022-3263. OTHER SOURCES: CJACS-IMAGE; CJACS.

GI



I II

AB Fluoroguanine nucleosides I (R = NH2, R1 = H; R = OH, R1 = NH2) and II were prepd. via fluorination of the guanine nucleosides with DAST. Effects of sugar conformational shifts on fluorination are described.

CC 33-9 (Carbohydrates)
Section cross-reference(s): 22

IT 75059-22-2P **103884-98-6P** 123402-21-1P 144588-18-1P
144588-21-6P 144588-23-8P 144588-24-9P 144588-27-2P
(prepn. of)

L31 ANSWER 9 OF 24 HCA COPYRIGHT 1995 ACS

117:192234 Synthesis of suitably protected phosphoramidites of 2'-fluoro-2'-deoxyguanosine and 2'-amino-2'-deoxyguanosine for incorporation into oligoribonucleotides. Benseler, Fritz; Williams, David M.; Eckstein, Fritz (Abt. Chem., Max-Planck-Inst. Exp. Med., Goettingen, W-3400, Germany). Nucleosides Nucleotides, 11(7), 1333-51 (English) 1992. CODEN: NUNUD5. ISSN: 0732-8311.

AB A novel synthesis of 2'-fluoro-2'-deoxyguanosine (I) employing DAST as the fluorinating agent is presented. Both I and 2'-amino-2'-deoxyguanosine were converted to their phosphoramidites.

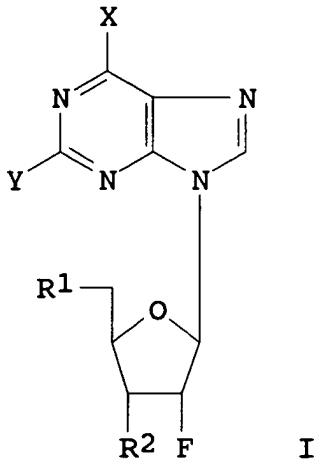
CC 33-9 (Carbohydrates)

IT **78842-13-4P**
(prepn. and isobutyrylation of)

L31 ANSWER 10 OF 24 HCA COPYRIGHT 1995 ACS

115:230514 Preparation of 2'-deoxy-2'-fluororibonucleosides as medicinal virucides. Tisdale, Sylvia Margaret; Van Tuttle, Joel; Slater, Martin John; Daluge, Susan Mary; Miller, Wayne Howard; Krenitsky, Thomas Anthony; Koszalka, George Walter (Wellcome Foundation Ltd., UK). Eur. Pat. Appl. EP 417999 A1 910320, 44 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 90-309838 900907. PRIORITY: GB 89-20534 890911.

GI



I

AB 2'-Deoxy-2'-fluororibonucleosides I [Y = H, NH2; X = (substituted) amino, ZR3; Z = O, S; R1,R2 = OH, OCOR4H, H, OCO2R5H, etc.; R3 = (substituted) C1-6 alkenyl, or C3-7 cycloalkyl; R4 = (hydroxy) C1-6 alkylene, C2-6 alkenylene, or C3-7 cycloalkylene; R5 = bond, R4] were prepd. For example, 2-amino-6-methoxypurine and 1-(2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)uracil were converted to title compd. I (R1 = R2 = OH, X = OMe, Y = NH2) (II) by thymidine phosphorylase and purine nucleoside phosphorylase in potassium phosphate buffer contg. potassium azide. The IC50 of II against respiratory syncytial virus was 6.3 .mu.M. Formulations of I were prepd.

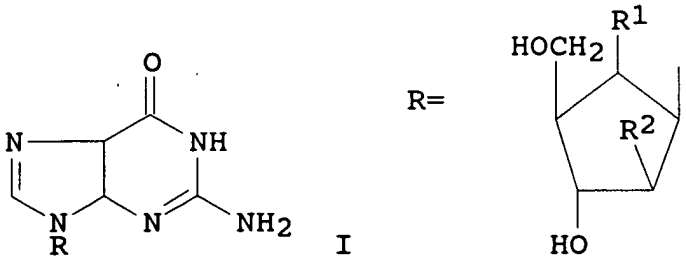
IC ICM C07H019-173
ICS C07H019-20; A61K031-70

CC 16-2 (Fermentation and Bioindustrial Chemistry)
Section cross-reference(s): 1, 33, 63

IT 64183-27-3P 68245-91-0P 68777-94-6P **78842-13-4P**
80049-87-2P 134444-47-6P 134444-48-7P 134444-49-8P
134444-50-1P 134444-51-2P 134444-52-3P 134444-53-4P
134444-54-5P 134444-55-6P 134444-56-7P 134444-57-8P
134444-58-9P 134444-59-0P 134444-60-3P 134444-61-4P
134444-62-5P 134444-63-6P **134444-64-7P** 134444-65-8P
134444-66-9P 134444-67-0P 134444-68-1P 134444-69-2P
134444-70-5P 134444-71-6P 134444-72-7P 134444-73-8P
134444-74-9P 134444-75-0P 134444-76-1P 134444-77-2P
134444-78-3P 134444-79-4P 134444-80-7P 134444-81-8P
134444-82-9P 134444-83-0P 134444-84-1P 134444-86-3P
134444-87-4P 134444-88-5P 134444-89-6P
(prepn. of, as antiviral agent)

L31 ANSWER 11 OF 24 HCA COPYRIGHT 1995 ACS
114:122928 Fluorocarbocyclic nucleosides: synthesis and antiviral activity of 2'- and 6'-fluorocarbocyclic 2'-deoxyguanosines. Borthwick, Alan D.; Kirk, Barrie E.; Biggadike, Keith; Exall, Anne M.; Butt, Suzanne; Roberts, Stanley M.; Knight, David J.; Coates, Jonathan A. V.; Ryan, D. Michael (Dep. Med. Chem. II, Glaxo Group Res., Greenford Middlesex, UB6 0HE, UK). J. Med. Chem., 34(3), 907-14 (English) 1991. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CASREACT 114:122928; CJACS.

GI



I

AB A series of 4 isomeric 2'- and 6'-fluorocarbocyclic guanosine analogs, e.g. I [R1 = H, R2 = F (II); R1 = F, R2 = H (III)], have been prepd. from their resp. fluoroaminodiol hydrochlorides RNH2.HCl, and evaluated as potential anti-herpes agents. For comparison, 9-(2'-deoxy-2'-fluoro-.beta.-D-arabinofuranosyl)guanine was prepd. by coupling 2-amino-6-chloropurine with 2-deoxy-2-fluoro-3,5-di-O-benzoyl-.alpha.-D-arabinofuranosyl bromide followed by base hydrolysis. III exhibited comparable activity to that of acyclovir (ACV) against herpes simplex virus type 1 (HSV-1) and type 2 (HSV-2) in vitro but was >30-foldmore active than ACV against HSV-1 and HSV-2 in vivo in the mouse systemic model. II was extremely potent in vitro against HSV-1 and HSV-2 and in vivo it was greater than 2 orders of magnitude more potent than ACV against HSV-1 and 70-fold more potent against HSV-2. Other 2 isomers of I were much less active.

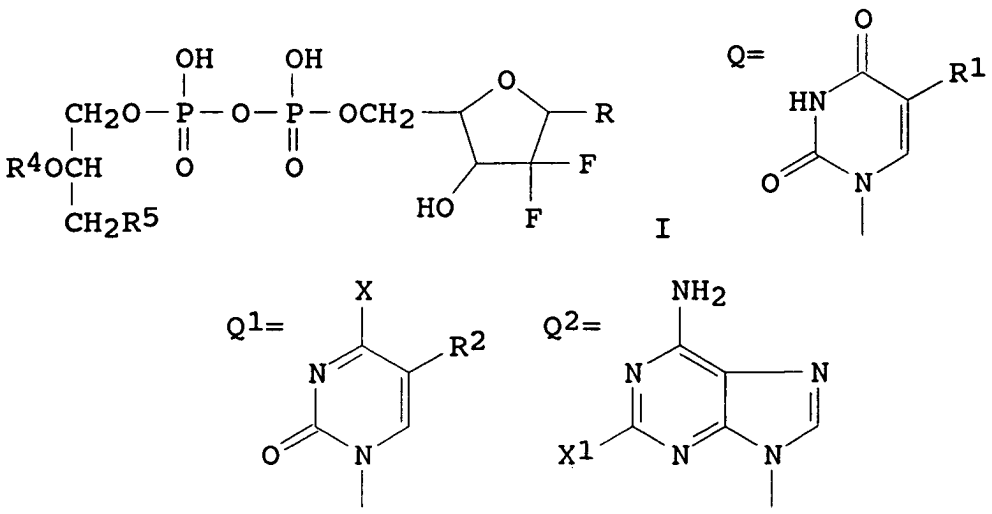
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1

IT **103884-98-6P** 110289-24-2P 131043-40-8P 131101-25-2P
131101-26-3P
(prepn. and antiviral activity of)

L31 ANSWER 12 OF 24 HCA COPYRIGHT 1995 ACS

114:43489 Preparation of phospholipids of 2'-deoxy-2'2'-difluoronucleosides as antineoplastic agents. Bonjouklian, Rosanne; Grindey, Gerald Burr; Hertel, Larry Wayne (Lilly, Eli, and Co., USA). Eur. Pat. Appl. EP 376518 A1 900704, 19 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 89-312830 891208. PRIORITY: US 88-282766 881212.

GI



AB The title compds. [I; R = Q, Q1, Q2; R1 = H, alkyl, Br, F, Cl, iodo; X = NH2 and R2 = any group of R1; or X = OH, NH2 and R2 = CH:CHR3, where R3 = H, Br, Cl, iodo; X1 = H, NH2, OH, Br, F, Cl; R4 = alkyl, COCCH2)mMe, where m = 12-18; R5 = (CH2)nMe, O2C(CH2)mMe where n = 14-20] were prepd. by condensation of R5CH2CH(OR4)CH2OPO3H2 (II) with a 2'-deoxy-2',2'-difluoronucleoside 5'-monophosphate. Thus, phosphorylation of 2'-deoxy-2',2'-difluorocytidine.HCl with POCl3 in P(O)(OMe)3 and treatment of the resulting 2'-deoxy-2',2'-difluorocytidine 5'-dihydrogenphosphate with morpholine and DCC in tert-BuOH/H2O gave an intermediate complex which was condensed with L-II (R4 = palmitoyl, R5 = palmitoyloxy) in pyridine gave I (R = Q1; X = NH2, R2 = H; R4, R5 as above) (III). III at 5 mg/kg/day from day 5 to day 14 after inoculation inhibited by 100% the proliferation of M-5 ovarian carcinoma in female mice. III was also active against 6C3HED lymphosarcoma, colon carcinoma 26, X-5563 plasma cell myeloma, C3H mammary adenocarcinoma, Madison lung carcinoma, and Lewis lung carcinoma in mice.

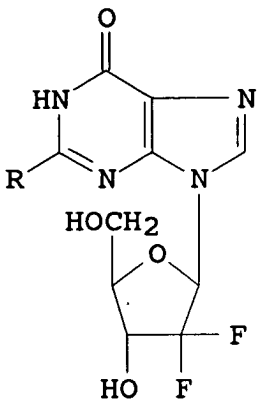
IC ICM C07H019-10
ICS C07H019-20; A61K031-70

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 63

IT 131330-98-8P 131330-99-9P **131331-00-5P** 131331-01-6P
131331-02-7P 131331-03-8P 131356-73-5P 131356-74-6P
(prepn. of, as antineoplastic agent)

L31 ANSWER 13 OF 24 HCA COPYRIGHT 1995 ACS
113:4620 .beta.-difluoronucleosides and their enzymic manufacture. Hertel, Larry Wayne; Grossman, Cora Sue; Kroin, Julian Stanley (Lilly, Eli, and Co., USA). Eur. Pat. Appl. EP 328345 A2 890816, 6 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 89-301163 890207. PRIORITY: US 88-159792 880210.

GI



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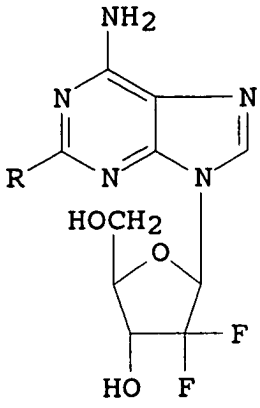

II

AB .beta.-2,2-Difluoronucleosides I (R = H, NH3) are manuf. by incubating racemic II (R as in I) with adenosine deaminase, and optionally aminating the 6-keto group in the product. I (R = H) is also provided as an antiviral and antitumor agent. .beta.-1-(2-Amino-6-oxo-1H,purin-9-yl)-2-desoxy-2,2-difluororibose 0.26 g was obtained after incubating 1-(2,6-diamino-9H-purin-9-yl)-2-desoxy-2,2-difluororibose 0.75 g with adenosine deaminase 100 mg.

IC ICM C12P019-40
ICS A61K031-70

CC 16-2 (Fermentation and Bioindustrial Chemistry)

IT **103882-87-7P** 127498-29-7P
(prepn. of, from racemate, adenosine deaminase in)

L31 ANSWER 14 OF 24 HCA COPYRIGHT 1995 ACS

112:99109 Synthesis, cytotoxicity and metabolism of the 2',2'-difluoro analogs of deoxyadenosine (dFdA) and deoxyguanosine (dFdG). Hertel, L. W.; Grossman, C. S.; Kroin, J. S.; Mineishib, S.; Chubb, S.; Nowak, B.; Plunkett, W. (Lilly Res. Lab., Indianapolis, IN, USA). Nucleosides Nucleotides, Volume Date 1988, 8(5-6), 951-5 (English) 1989. CODEN: NUNUD5. ISSN: 0732-8311.

AB Proceedings of the 8th International Round Table. The in vitro toxicity and metab. of dFdA and dFdG was studied in human leukemia cell lines.

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1

IT 103828-77-9P, 2'-Deoxy-2',2'-difluoroadenosine **103882-87-7P**, 2'-Deoxy-2',2'-difluoroguanosine
(prepn., cytotoxicity, and metab. of, in human leukemia cells)

L31 ANSWER 15 OF 24 HCA COPYRIGHT 1995 ACS

111:78536 Nucleosides. CXXXV. Synthesis of some 9-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-9H-purines and their biological activities. Chu, Chung K.; Matulic-Adamic, Jasenka; Huang, Jai Tung; Chou, Ting Chao; Burchenal, Joseph H.; Fox, Jack J.; Watanabe, Kyoichi A. (Dep. Med. Chem. Pharmacogn., Univ. Georgia, Athens, GA, 30602, USA). Chem. Pharm. Bull., 37(2), 336-9 (English) 1989. CODEN: CPBTAL. ISSN: 0009-2363. OTHER SOURCES: CASREACT 111:78536.

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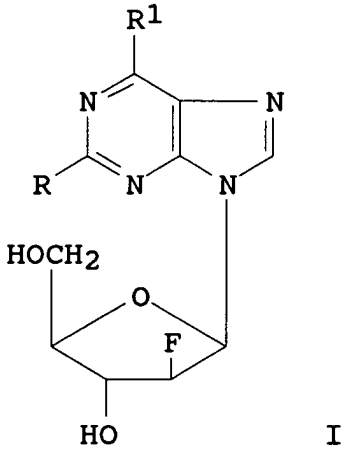


I

AB Seven title nucleosides I (R = H, R1 = NH2, OH, SH, SMe, H; R = NH2, R1 = OH, SH) were prepd. and tested for their antitumor activity. For example, direct condensation of 3-O-acetyl-5-O-benzoyl-2-deoxy-2-fluoro-D-arabinofuranosyl bromide with N6-benzoyladenine in CH2Cl2 followed by sapon. of the product gave I (R = H, R1 = NH2). I (R = NH2, R1 = OH) was found to be selectively toxic to human T-cell leukemia CCRF-CEM.

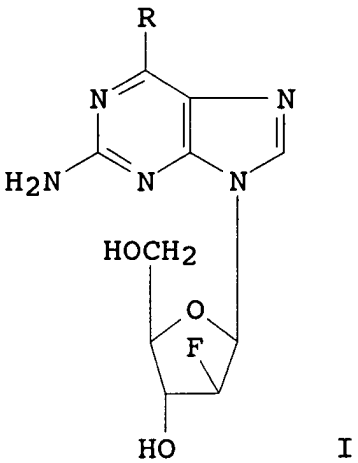
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1

IT 20227-41-2P 98983-40-5P **103884-98-6P** 109304-03-2P
109304-05-4P 109304-12-3P 109304-16-7P
(prepn. and antitumor activity of)

L31 ANSWER 16 OF 24 HCA COPYRIGHT 1995 ACS

111:55835 Antiviral nucleoside derivatives and pharmaceutical compositions containing them. Tuttle, Joel Van; Krenitsky, Thomas Anthony (Wellcome Foundation Ltd., UK). Eur. Pat. Appl. EP 285432 A2 881005, 16 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 88-302922 880331. PRIORITY: GB 87-8050 870403.

GI



I

AB The title compds. [I; R = H, OH, alkyl, alkoxy, (substituted) amino] and their pharmaceutically acceptable derivs., useful as antivirals, are prepd. Incubation of 2,6-diaminopurine with 1-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)thymine in a pH 7.0 K3PO4 buffer contg. thymidine phosphorylase and purine nucleoside phosphorylase adsorbed onto DEAE-cellulose gave 2,6-diamino-9-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-9H-purine(II). A tablet formulation contg. I (unspecified), lactose, povidone, Na starch glycollate, and Mg stearate was described. I at 1 .mu.M showed antiviral activity against HIV in vitro.

IC ICM C07H019-167
ICS A61K031-70

CC 16-2 (Fermentation and Bioindustrial Chemistry)
Section cross-reference(s): 1, 63

IT 103884-97-5P **103884-98-6P** 109304-04-3P 121624-11-1P
121624-12-2P 121624-13-3P
(prepn. of, as antiviral agent)

L31 ANSWER 17 OF 24 HCA COPYRIGHT 1995 ACS

110:57982 Synthesis and enzymatic resolution of carbocyclic 2'-arafluoroguanosine: a potent new antiherpetic agent. Borthwick, Alan D.; Butt, Suzanne; Biggadike, Keith; Exall, Anne M.; Roberts, Stanley M.; Youds, Peter M.; Kirk, Barrie E.; Booth, Brian R.; Cameron, Janet M.; et al. (Dep. Microbiol. Chem., Glaxo Group Res., Greenford/Middlesex, UB6 0HE, UK). J. Chem. Soc., Chem. Commun. (10), 656-8 (English) 1988. CODEN: JCCCAT. ISSN: 0022-4936. OTHER SOURCES: CASREACT 110:57982; CJRSC.

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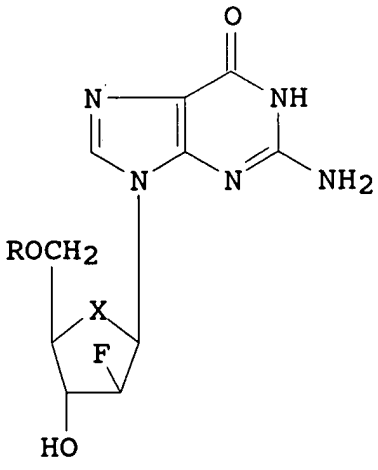

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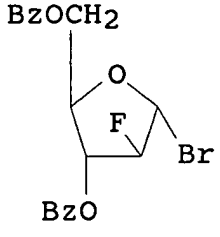

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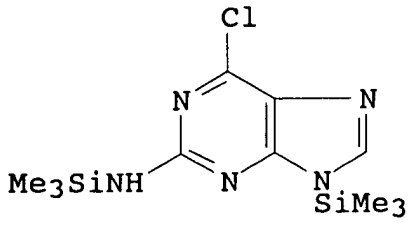


III

AB The prepn of the title compd. I (R = H, X = CH2), its parent furanose I (R = H, X = O), and the enzymic resoln. of I (R = H, X = CH2) are reported. Thus, bromodeoxydibenzoylfluorofuranose II was coupled with the silylated amino chloropurine III, followed by hydrolysis of the resulting product to give I (R = H, X = O). I (R = H, X = CH2), previously reported as a potent inhibitor of herpes simplex virus (HSV) types 1 and 2, was 1000-fold more active than I (X = O) in vitro. However, (+)-I (R = H, X = CH2), obtained by enzymic resoln. of I [R = (HO)2P(O), X = CH2], was twice as active as racemic I (R = H, X = CH2) against HSV-1 in vitro.

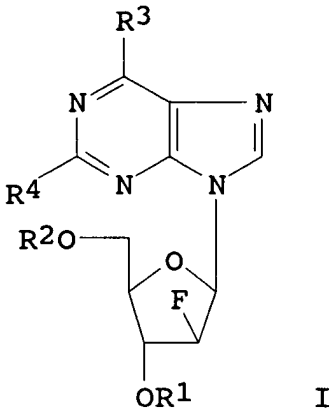
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1

IT **103884-98-6P** 110312-77-1P 110312-78-2P
(prepn. and virucidal activity of)

L31 ANSWER 18 OF 24 HCA COPYRIGHT 1995 ACS

107:59409 2-Fluoro-arabinofuranosyl purine nucleosides as neoplasm inhibitors and parasiticides. Watanabe, Kyoichi A.; Chu, Chung K.; Fox, Jack J. (Sloan-Kettering Institute for Cancer Research, USA). Eur. Pat. Appl. EP 219829 A2 870429, 9 pp. DESIGNATED STATES: R: DE, ES, FR, GB. (English). CODEN: EPXXDW. APPLICATION: EP 86-114412 861017. PRIORITY: US 85-789072 851018.

GI



I

AB The title compds. (I; R1, R2 = H, acyl, aroyl; R3, R4 = H, halo, OR5, SR5,NR5R6, decylimino; R5, R6 = H, alkyl, aralkyl, acyl) were prepd. as neoplasm inhibitors and parasiticides. I (R1 = R2 = H, R3 = SH, R4 = NH2) was refluxed in H2O with Raney Ni to give I (R1 = R2 = R3 = H, R4 = NH2) (II). II had an ID50 of 2.0 .mu.M against mouse L 1210 leukemia cells.

IC ICM C07H019-16
ICS A61K031-70

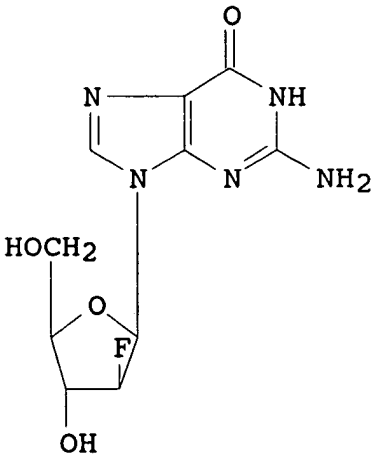
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 63

IT 98983-40-5P 103884-97-5P **103884-98-6P** 109303-87-9P
109303-88-0P 109303-89-1P 109303-90-4P 109303-91-5P
109303-92-6P 109303-93-7P 109303-94-8P 109303-95-9P
109303-96-0P 109303-97-1P 109303-98-2P 109303-99-3P
109304-00-9P 109304-01-0P 109304-02-1P 109304-03-2P
109304-04-3P 109304-05-4P 109304-06-5P 109304-07-6P

109304-08-7P 109304-09-8P 109304-10-1P 109304-11-2P
109304-12-3P 109304-13-4P 109304-14-5P 109304-15-6P
109304-16-7P
(prepn. of, as parasiticide and neoplasm inhibitor)

L31 ANSWER 19 OF 24 HCA COPYRIGHT 1995 ACS
105:172962 9-(2-Deoxy-2-fluoro-.beta.-D-arabinofuranosyl)guanine: a metabolically stable cytotoxic analogue of 2'-deoxyguanosine. Montgomery, John A.; Shortnacy, Anita T.; Carson, Dennis A.; Secrist, John A., III (Kettering-Meyer Lab., Southern Res. Inst., Birmingham, AL, 35255-5305, USA). J. Med. Chem., 29(11), 2389-92 (English) 1986. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CASREACT 105:172962; CJACS.

GI



I

AB The synthesis of the title nucleoside (I) from 1,3-di-O-acetyl-5-O-benzoyl-2-deoxy-2-fluoro-D-arabinofuranose and 2,6-dichloropurine in six steps using an enzymic deamination as the last step is reported. I is stable to purine nucleoside phosphorylase cleavage and is cytotoxic in two cell lines, one a T-cell line. Incubation of L1210 cells with I results in an inhibition of DNA synthesis as judged by the reduced incorporation of labeled thymidine into DNA, while RNA and protein syntheses were unaffected.

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1

IT 961-07-9DP, analog **103884-98-6P**
(prepn. and cytotoxicity of)

L31 ANSWER 20 OF 24 HCA COPYRIGHT 1995 ACS
105:91327 Treatment of tumors in mammals. Grindey, Gerald Burr; Hertel, Larry Wayne (Lilly, Eli, and Co. , USA). Eur. Pat. Appl. EP 184365 A2 860611, 60 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 85-308547 851125. PRIORITY: US 84-677783 841204; US 85-786419 851010.

AB 2'-Deoxy-2',2'-difluoronucleosides are prepd. as cytostatic agents for neoplasm treatment. For example, 1-(4-amino-2-oxo-1H-pyrimidin-

1-yl)-2-deoxy-2,2-difluororibose (I) (20.0 mg/kg i.p. on days 1, 5, and 9 after tumor implantation) gave 92-100% inhibition of 6C3HED lymphosarcoma, CA755 adenocarcinoma, P1534J lymphocytic leukemia, and X5563 myeloma in mice. I was prepd. by reaction of 3,5-bis(tert-butyldimethylsiloxy)-1-methanesulfonyloxy-2-deoxy-2,2-difluororibose with bis(trimethylsilyl)-N-acetylcytosine and deprotection. Tablets were prepd. contg. I 250, microcryst. cellulose 400, SiO2 10, and stearic acid 5 mg.

IC ICM C07H019-06
ICS C07H019-16; A61K031-70

CC 1-6 (Pharmacology)
Section cross-reference(s): 33, 63

IT 103828-75-7P 103828-76-8P 103828-77-9P 103828-78-0P
103828-79-1P 103828-80-4P 103828-81-5P **103828-82-6P**
103828-83-7P 103828-86-0P 103828-87-1P 103882-84-4P
103882-85-5P 103882-86-6P **103882-87-7P**
103882-88-8P
(prepn. of, as neoplasm inhibitor)

L31 ANSWER 21 OF 24 HCA COPYRIGHT 1995 ACS

102:199767 A .fwdarw. Z transition in the synthetic hexanucleotide (dCdGfl)3. Fazakerley, G. V.; Uesugi, S.; Izumi, A.; Ikehara, M.; Guschlbauer, W. (Serv. Bochim., Cent. Etud. Nucl. Saclay, Gif-sur-Yvette, F-91191, Fr.). FEBS Lett., 182(2), 365-9 (English) 1985. CODEN: FEBLAL. ISSN: 0014-5793.

AB 500-MHz 1H NMR and nuclear Overhauser enhancement measurements of (dCdGfl)3 (where dC = 2'-deoxycytosine and dGfl = 2'-deoxy-2'-fluoroguanosine) showed that at very low ionic strength the hexanucleotide adopts an A-DNA conformation, whereas at high salt concns. a Z-form is found. At intermediate salt concns., the 2 species were in slow exchange on the 1H NMR time scale. This transition was also obsd. by characteristic changes in the CD spectra.

CC 6-2 (General Biochemistry)

IT **96475-41-1**
(double-stranded, conformational A-Z transition of)

L31 ANSWER 22 OF 24 HCA COPYRIGHT 1995 ACS

101:73046 2'-Substituted 2'-deoxypurinenucleotides their conformation and properties. Ikehara, Morio (Fac. Pharm. Sci., Osaka Univ., Suita, 565, Japan). Heterocycles, 21(1), 75-90 (English) 1984. CODEN: HTCYAM. ISSN: 0385-5414.

AB In order to investigate the structure-function relationship of DNA and RNA, a no. of nucleotide analogs having various substituents in the 2'-position of purine nucleoside moieties were synthesized and their phys. and biol. properties investigated.

CC 33-10 (Carbohydrates)
Section cross-reference(s): 6, 22

IT 58-61-7, properties 958-09-8 2140-79-6 2627-62-5 10414-81-0
58699-61-9 65446-56-2 68775-04-2 77268-13-4 **78842-13-4**
80973-48-4 80973-50-8 80980-30-9
(conformation of)

L31 ANSWER 23 OF 24 HCA COPYRIGHT 1995 ACS

96:104673 Studies on nucleosides and nucleotides. LXXXIX. Purine cyclonucleosides. (43). Synthesis and properties of 2'-halogeno-2'-deoxyguanosines. Ikehara, Morio; Imura, Junko (Fac.

Pharm. Sci., Osaka Univ., Suita, 565, Japan). Chem. Pharm. Bull., 29(11), 3281-5 (English) 1981. CODEN: CPBTAL. ISSN: 0009-2363.

AB The reaction of N2-isobutyryl-9-(2'-O-trifluoromethanesulfonyl-3',5'-di-O-tetrahydrofuranyl-.beta.-D-arabinofuranosyl)guanine with Bu4NF or an appropriate metal halide in DMF afforded N2-isobutyryl-3',5'-di-O-tetrahydrofuranyl-2'-halo-2'-deoxyguanosines. The deprotection of these products led to 2'-halo-2'-deoxyguanosines. The UV, 1H and 13C NMR spectral properties and conformations of the products were recorded.

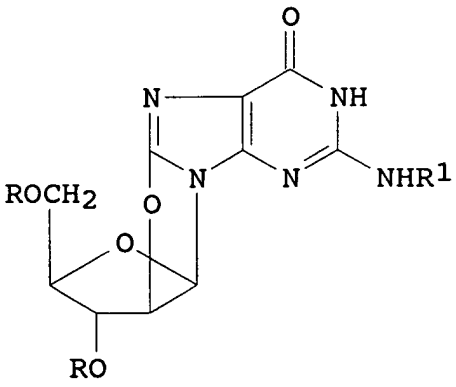
CC 33-9 (Carbohydrates)

IT **78842-13-4P** 80973-48-4P 80973-50-8P 80980-30-9P
(prepn. and spectra of)

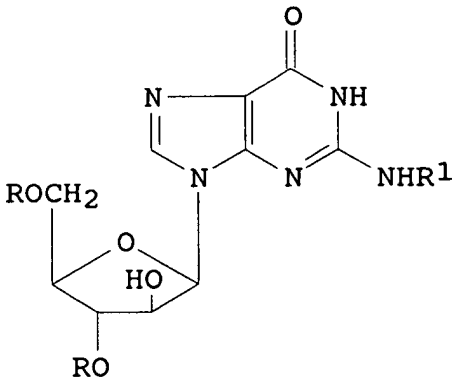
L31 ANSWER 24 OF 24 HCA COPYRIGHT 1995 ACS

95:115911 Studies on nucleosides and nucleotides. LXXXVII. Purine cyclonucleosides. XLII. Synthesis of 2'-deoxy-2'-fluoroguanosine. Ikehara, Morio; Imura, Junko (Fac. Pharm. Sci., Osaka Univ., Osaka, 565, Japan). Chem. Pharm. Bull., 29(4), 1034-8 (English) 1981. CODEN: CPBTAL. ISSN: 0009-2363.

GI



II



IV

AB 2'-Deoxy-2'-fluoroguanosine (I) was synthesized starting from cycloguanosine II (R = R1 = H) (III). III was protected at 2-NH2 with an isobutyryl group and at 3'- and 5'-OH with tetrahydrofuranyl groups. The protected compd. II (R = tetrahydrofuranyl; R1 = Me2CHCO) was derivatized to the arabino nucleoside IV (same R and R1) and thence converted to I by treatment with CF3SO2Cl and Bu4N+F-. I showed a 3'-endo favored conformation.

CC 33-7 (Carbohydrates)
Section cross-reference(s): 22

IT **78842-13-4P**
(prepn. and conformation of)

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